FIG. 1A

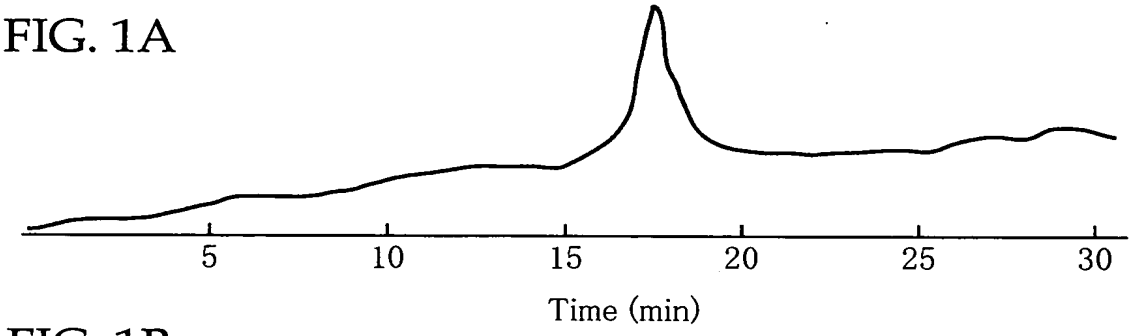


FIG. 1B

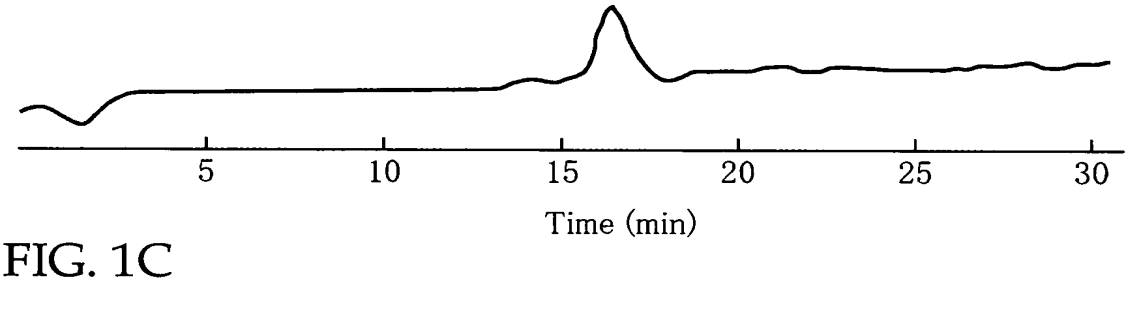


FIG. 1C

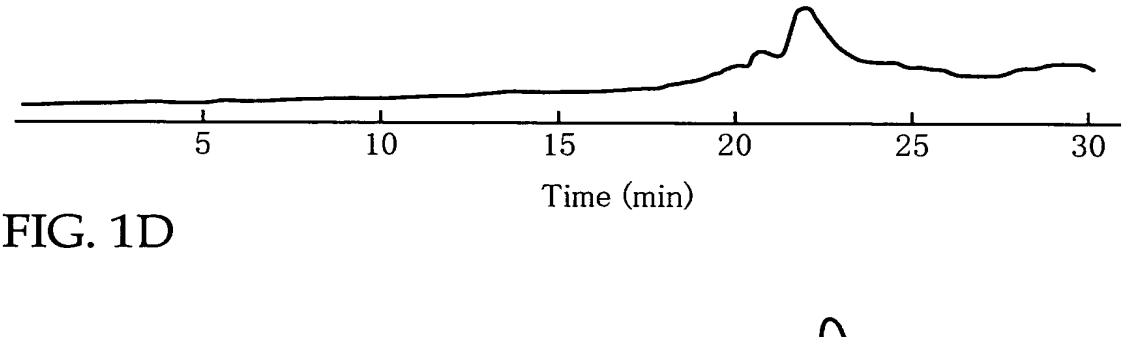


FIG. 1D

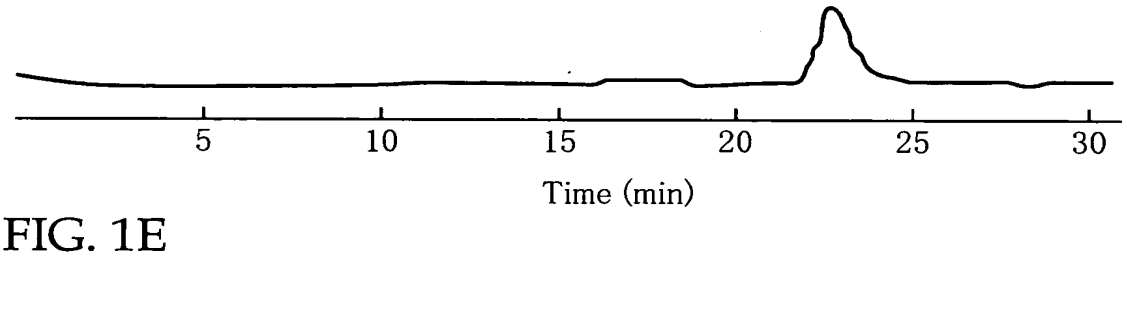


FIG. 1E

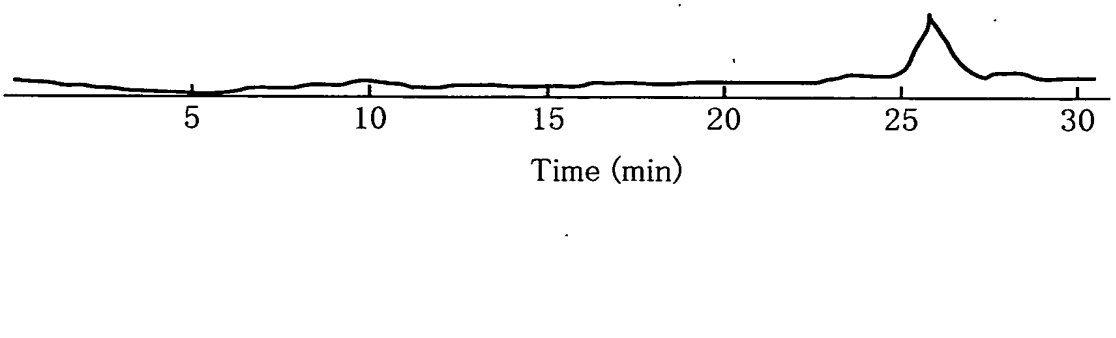


FIG. 2A

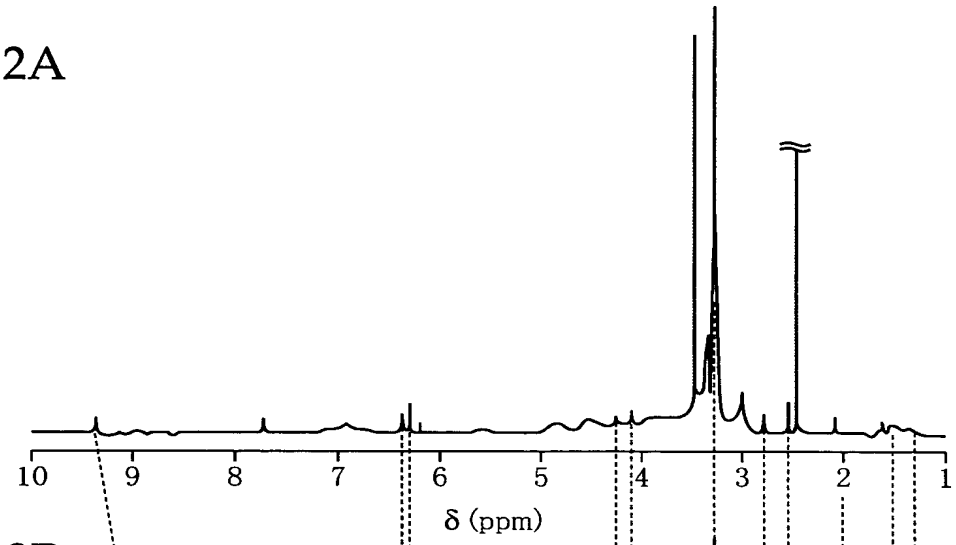


FIG. 2B

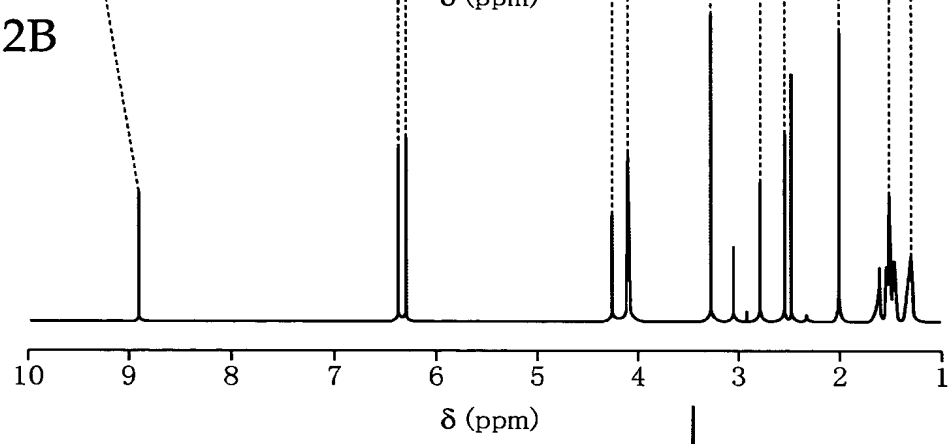


FIG. 2C

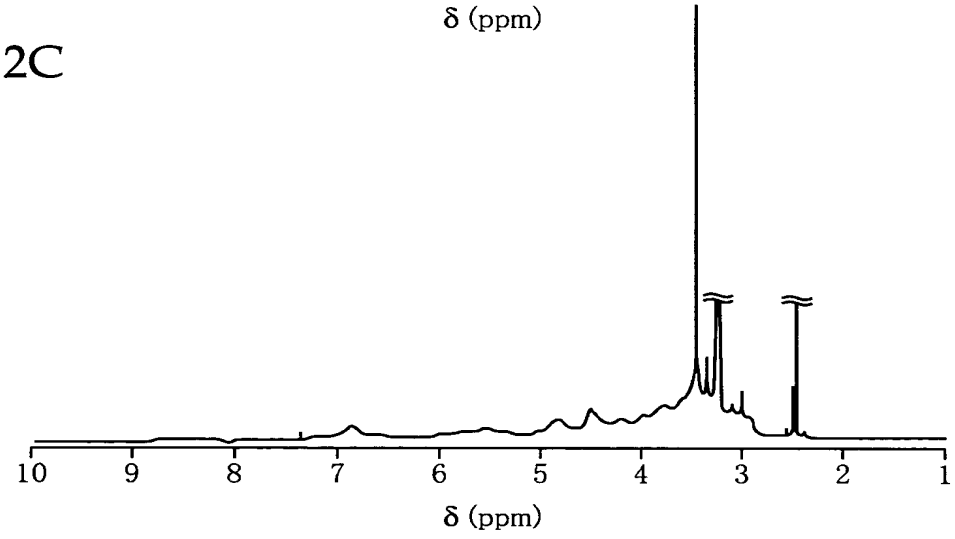


FIG. 3

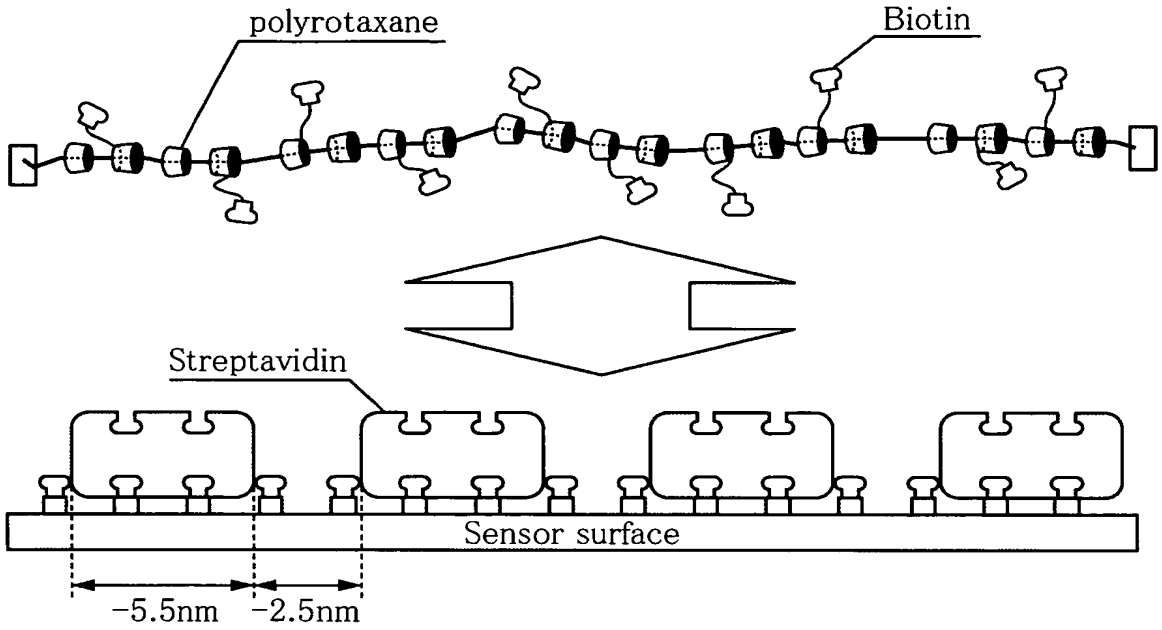

polyrotaxane
Biotin
Streptavidin
Sensor surface
~5.5nm
~2.5nm

FIG. 4

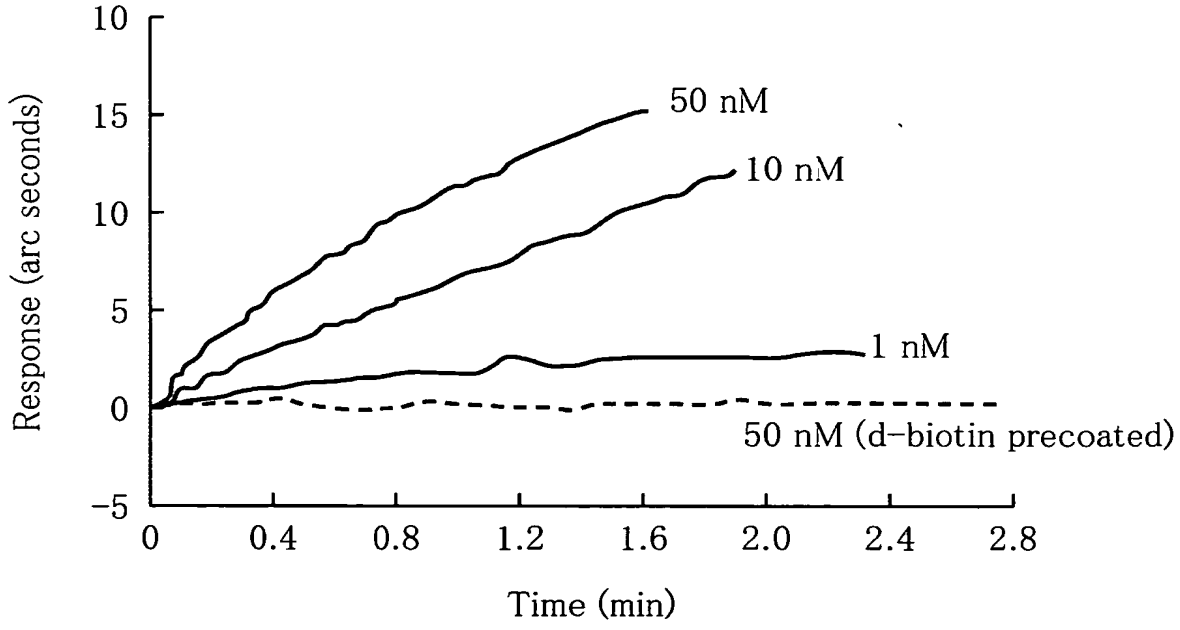

Response (arc seconds)
10
15
10
5
0
-5
0
0.4
0.8
1.2
1.6
2.0
2.4
2.8
Time (min)
50 nM
10 nM
1 nM
50 nM (d-biotin precoated)

FIG. 5

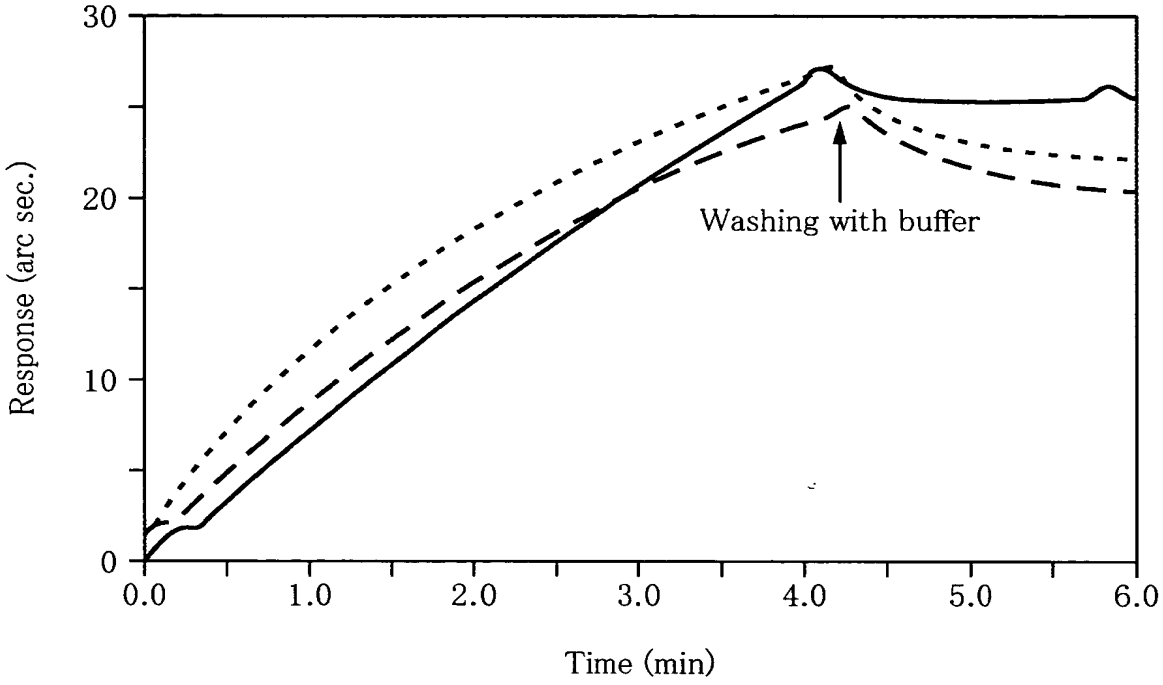

30
20
10
0
Response (arc sec.)
Washing with buffer
0.0
1.0
2.0
3.0
4.0
5.0
6.0
Time (min)

FIG. 6

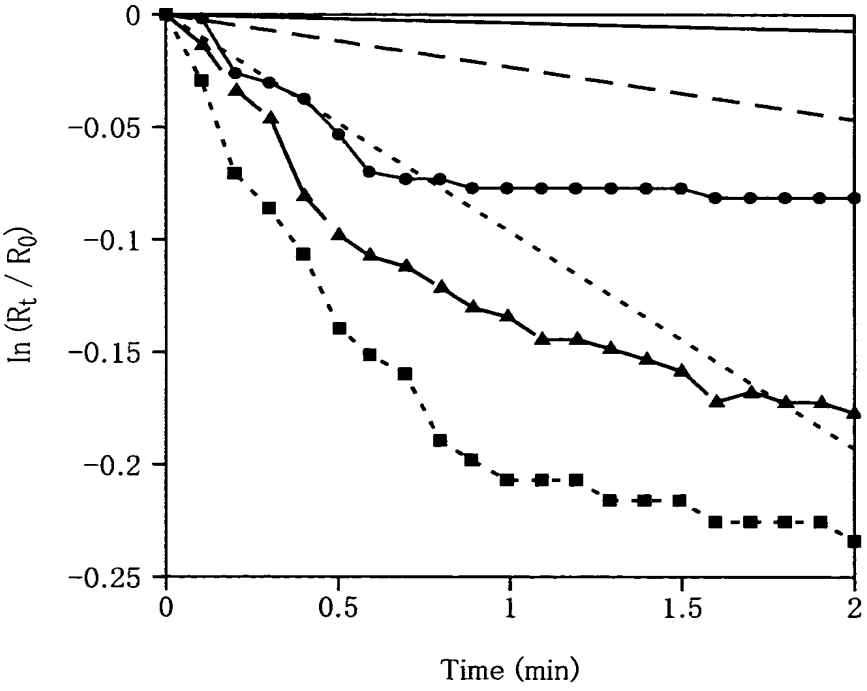

0
−0.05
−0.1
−0.15
−0.2
−0.25
ln (Rt / R0)
0
0.5
1
1.5
2
Time (min)

FIG. 7A

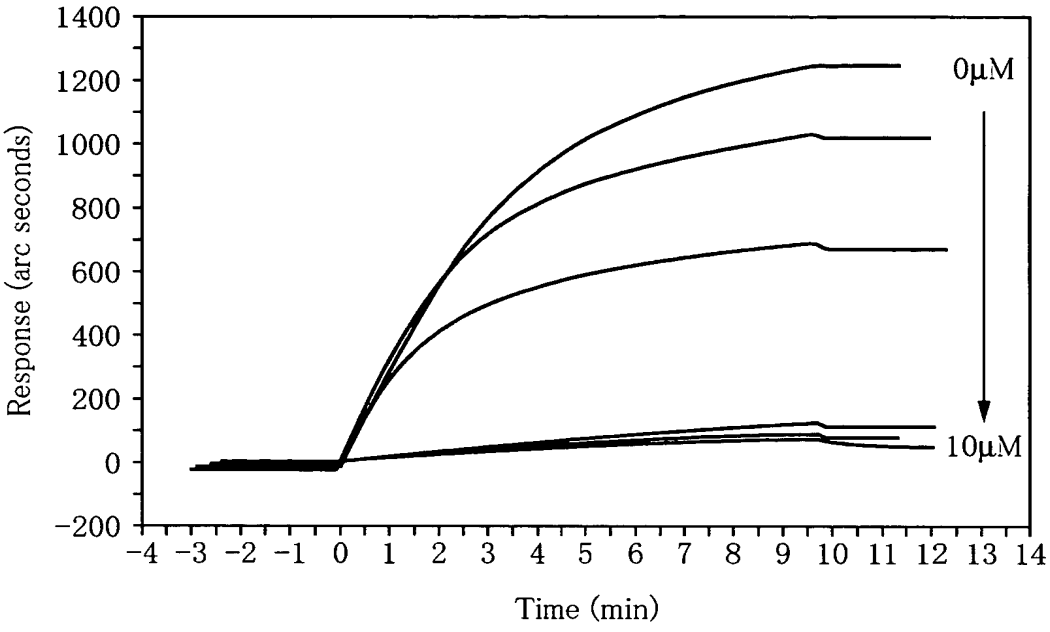

1400
1200
1000
800
600
400
200
0
−200
Response (arc seconds)
0μM
10μM
−4 −3 −2 −1 0 1 2 3 4 5 6 7 8 9 10 11 12 13 14
Time (min)

FIG. 7B

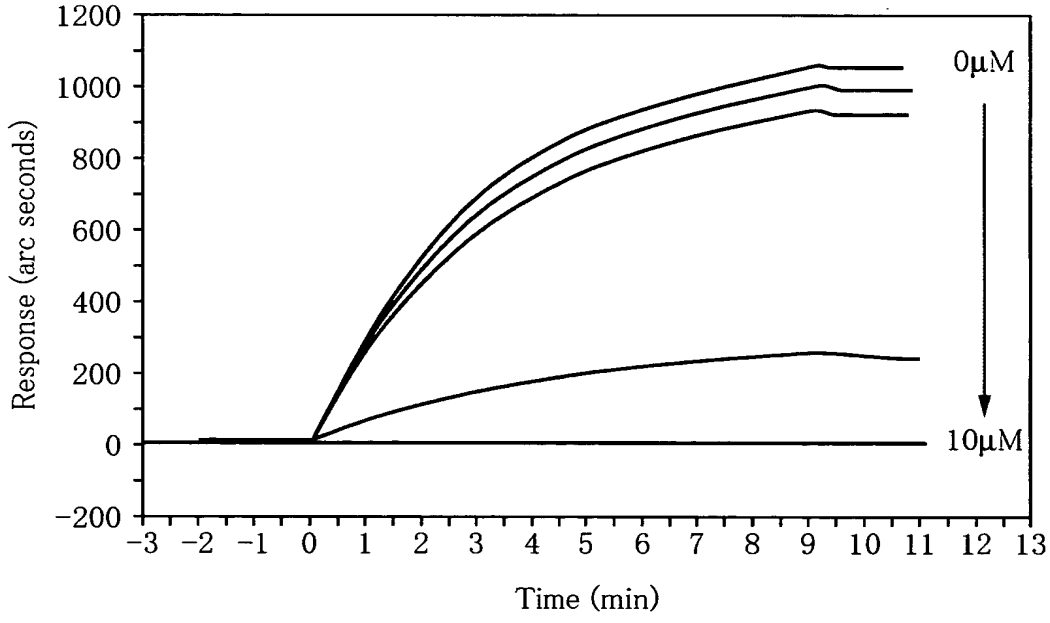

1200
1000
800
600
400
200
0
−200
Response (arc seconds)
0μM
10μM
−3 −2 −1 0 1 2 3 4 5 6 7 8 9 10 11 12 13
Time (min)

FIG. 8

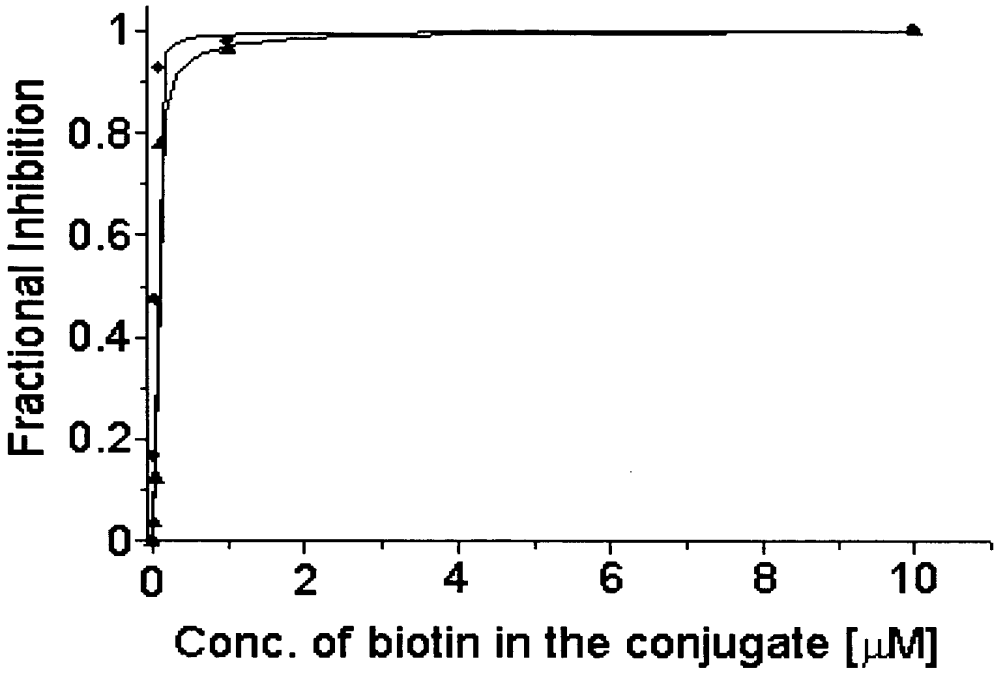

Fractional Inhibition
1
0.8
0.6
0.4
0.2
0
0
2
4
6
8
10
Conc. of biotin in the conjugate [μM]

FIG. 9A

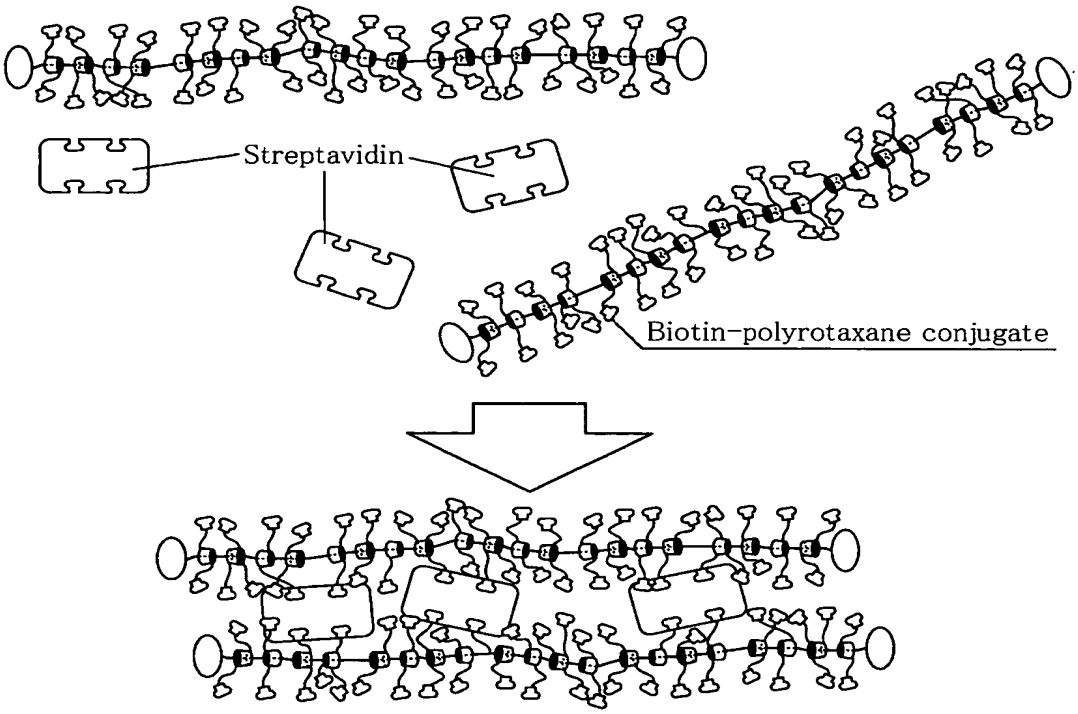

Streptavidin
Biotin-polyrotaxane conjugate

FIG. 9B

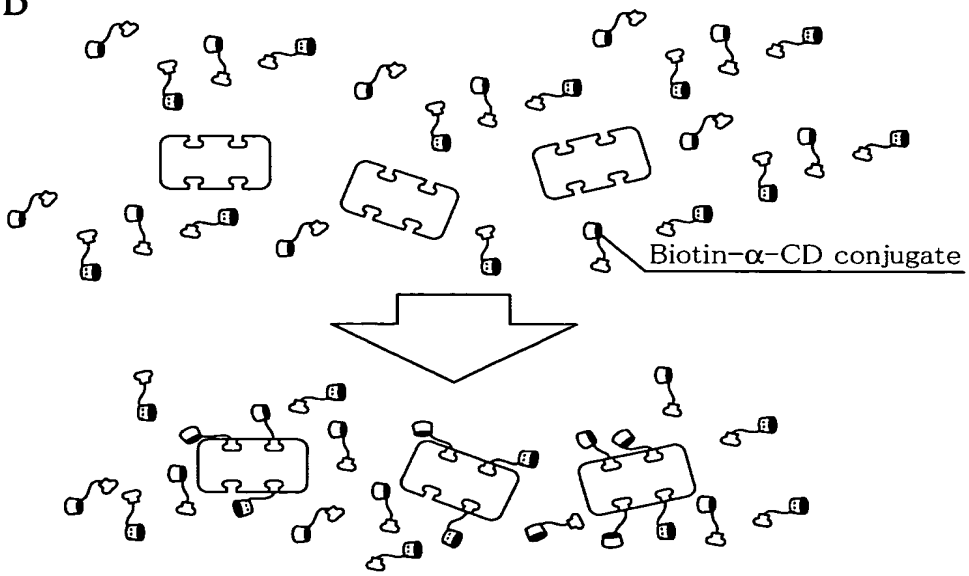
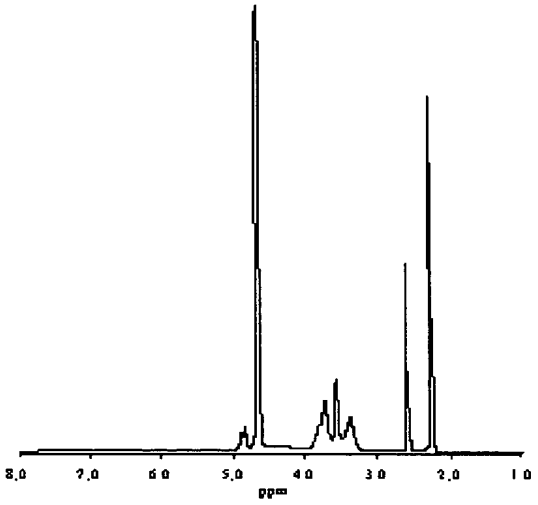

Biotin-α-CD conjugate

FIG. 10



^{1}H-NMR analysis of 132CEE-α/E4-PHE-Z.

FIG. 11

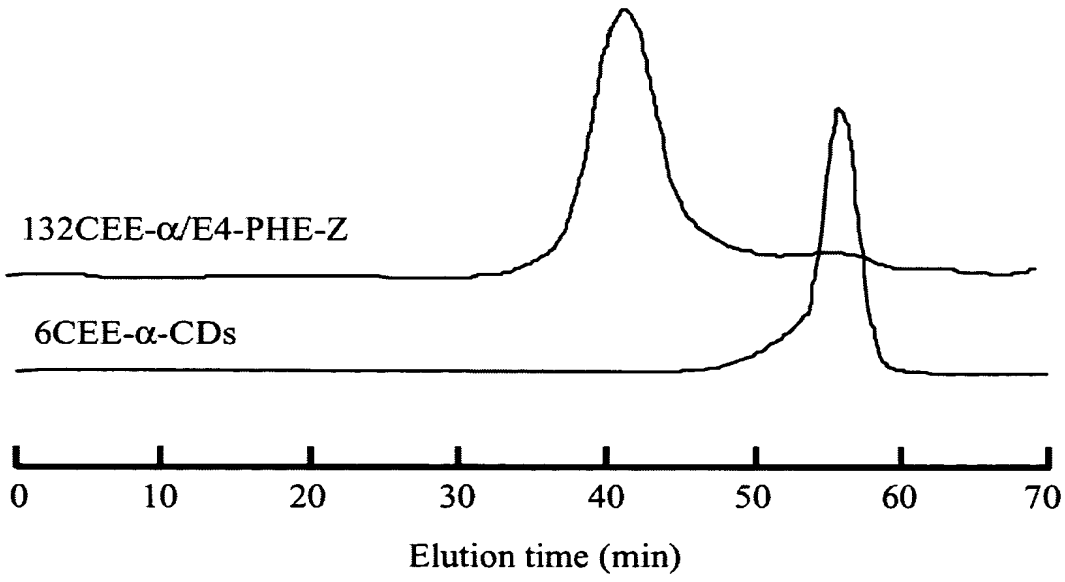
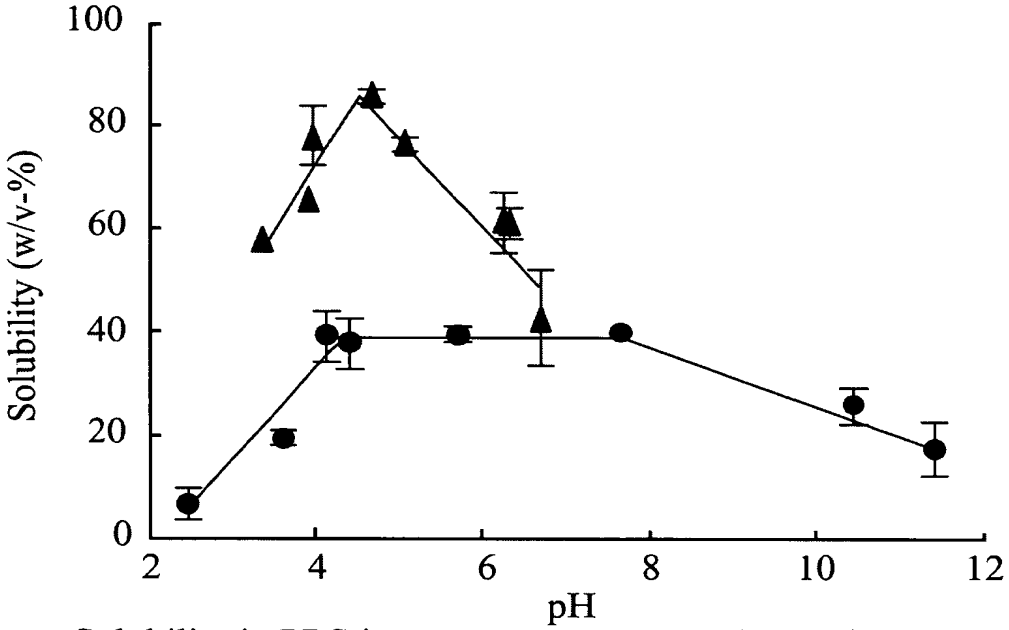


FIG. 12



Solubility in PBS in response to pH : 132CEE-α/E4-PHE-Z (●), 6CEE-α-CDs (▲) (meam ± S. E. M., n =3).

FIG. 13

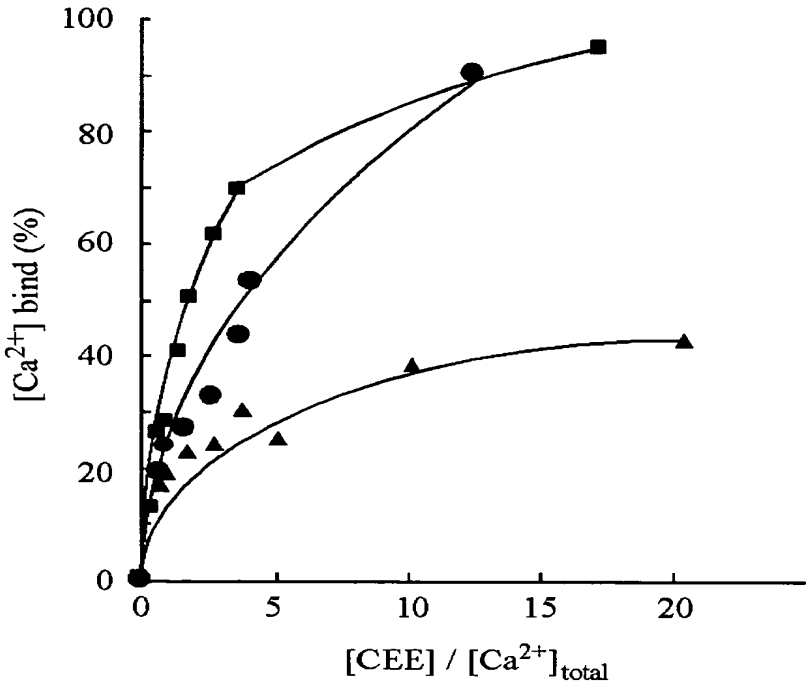

100
80
60
40
20
0
[Ca2+] bind (%)
0
5
10
15
20
[CEE] / [Ca2+]total

FIG. 14

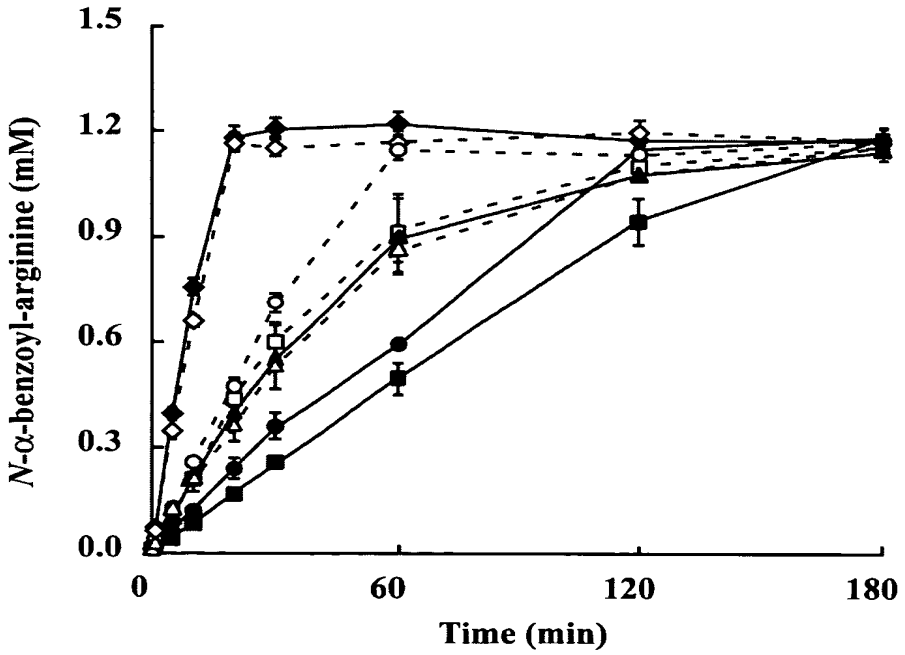

1.5
1.2
0.9
0.6
0.3
0.0
N-α-benzoyl-arginine (mM)
0
60
120
180
Time (min)

FIG. 15

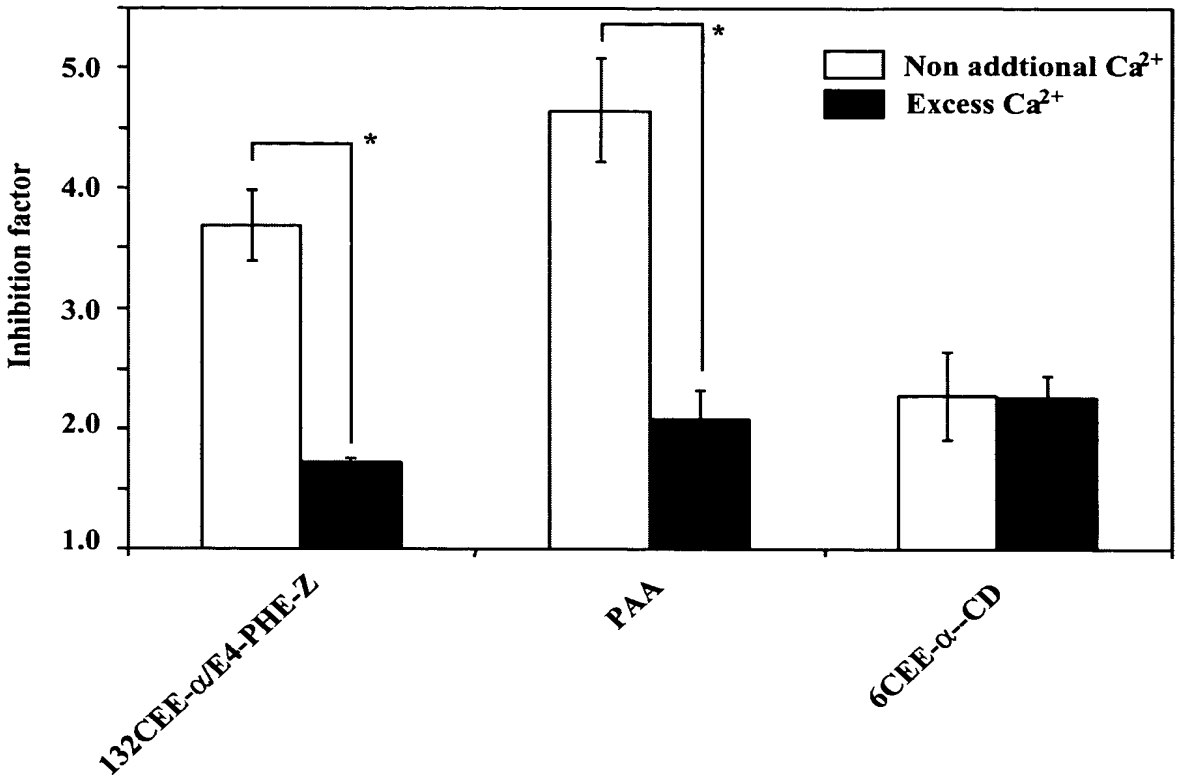

Inhibition factor
5.0
4.0
3.0
2.0
1.0
*
*
Non addtional Ca2+
Excess Ca2+
132CEE-α/E4-PHE-Z
PAA
6CEE-α-CD

FIG. 16

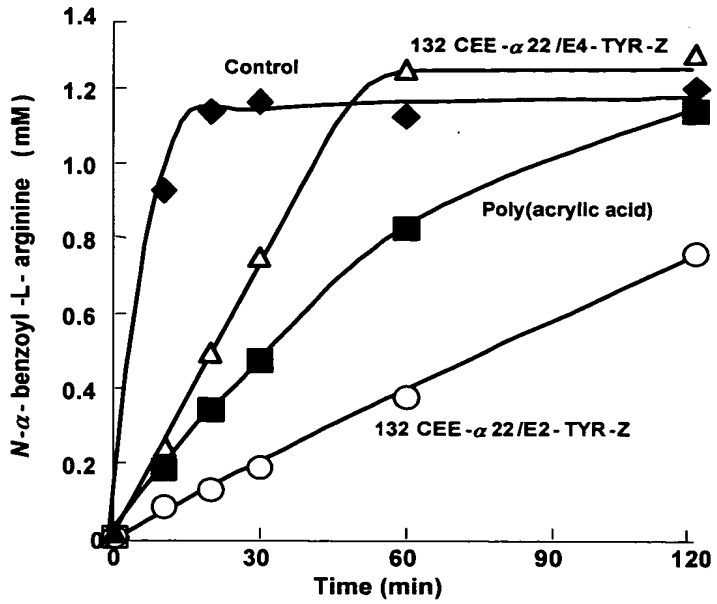

1.4
1.2
1.0
0.8
0.6
0.4
0.2
0
N-α- benzoyl -L- arginine (mM)
Control
132 CEE -α 22 /E4- TYR -Z
Poly(acrylic acid)
132 CEE -α 22 /E2- TYR -Z
0
30
60
90
120
Time (min)

FIG. 17

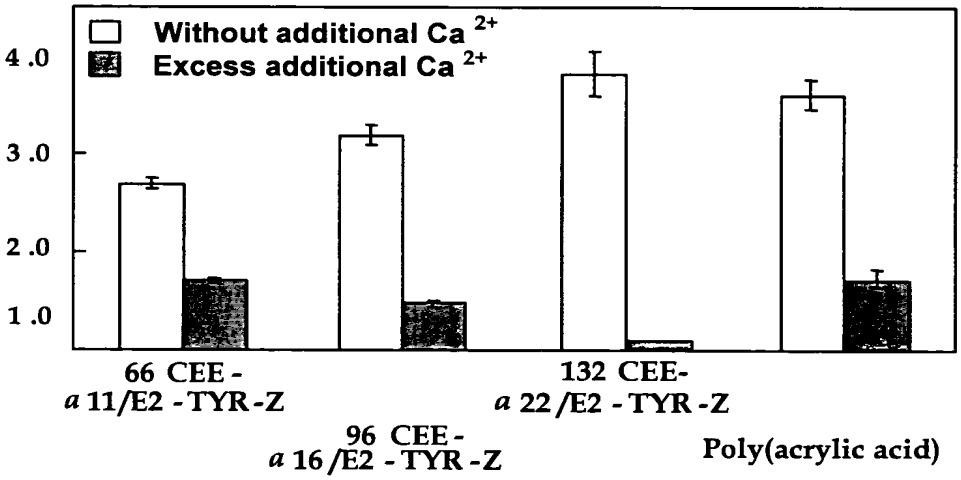
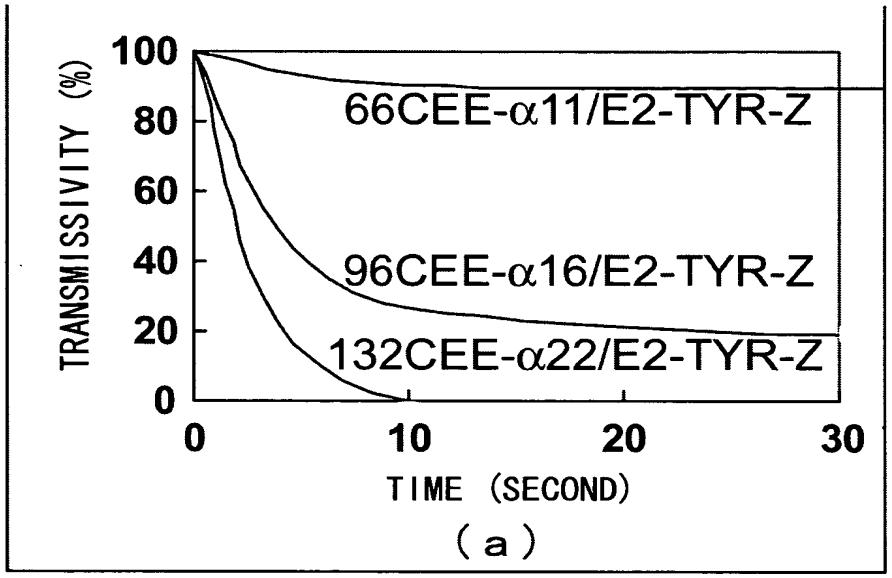

Without additional Ca 2+
Excess additional Ca 2+
4 .0
3 .0
2 .0
1 .0
66 CEE -
a 11/E2 -TYR-Z
96 CEE -
a 16 /E2 - TYR -Z
132 CEE-
a 22 /E2 - TYR -Z
Poly(acrylic acid)

FIG. 18


TRANSMISSIVITY (%)
100
80
60
40
20
0
66CEE-α11/E2-TYR-Z
96CEE-α16/E2-TYR-Z
132CEE-α22/E2-TYR-Z
0
10
20
30
TIME (SECOND)
(a)

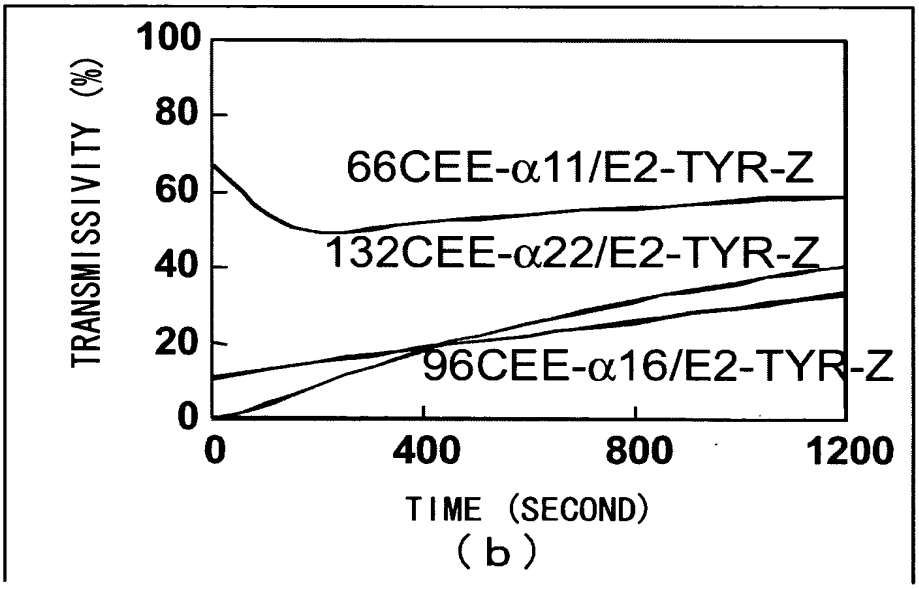

TRANSMISSIVITY (%)
100
80
60
40
20
0
66CEE-α11/E2-TYR-Z
132CEE-α22/E2-TYR-Z
96CEE-α16/E2-TYR-Z
0
400
800
1200
TIME (SECOND)
(b)

FIG. 19

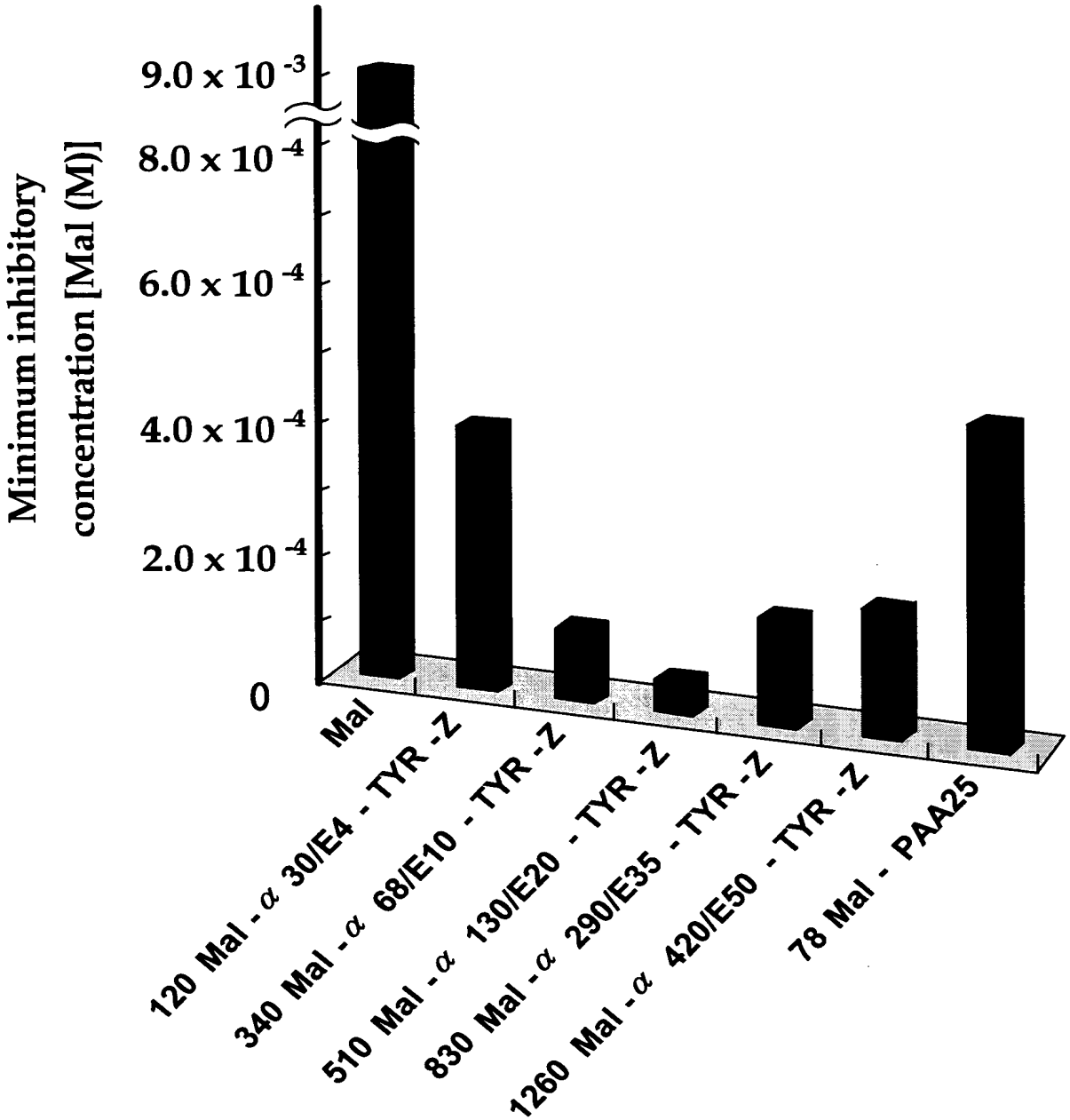

Minimum inhibitory concentration [Mal (M)]
9.0 x 10 -3
8.0 x 10 -4
6.0 x 10 -4
4.0 x 10 -4
2.0 x 10 -4
0
Mal
120 Mal - α 30/E4 - TYR - Z
340 Mal - α 68/E10 - TYR - Z
510 Mal - α 130/E20 - TYR - Z
830 Mal - α 290/E35 - TYR - Z
1260 Mal - α 420/E50 - TYR - Z
78 Mal - PAA25

FIG. 20

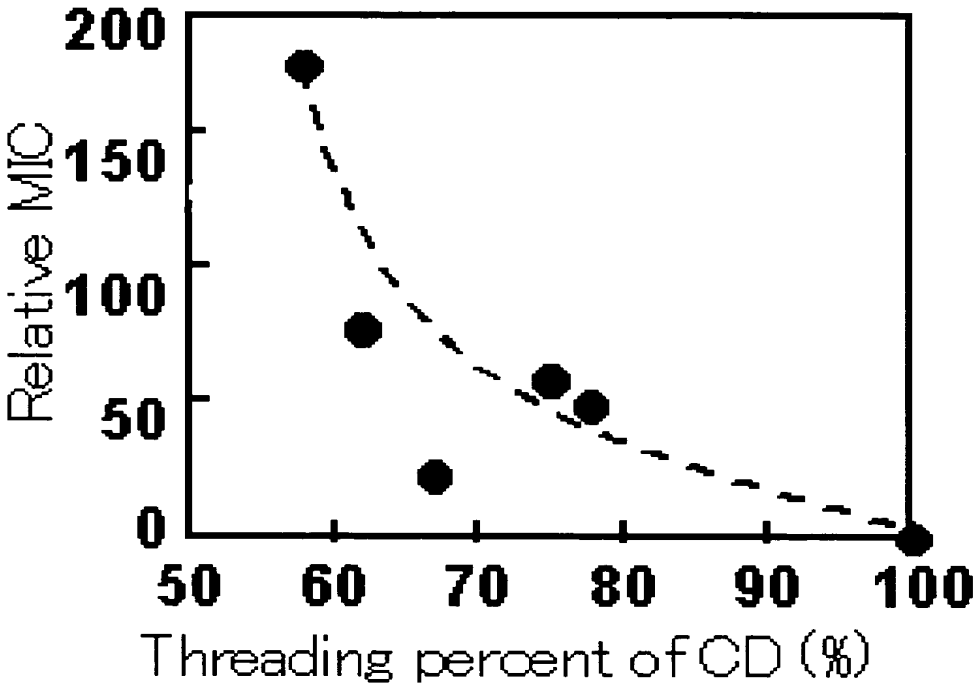
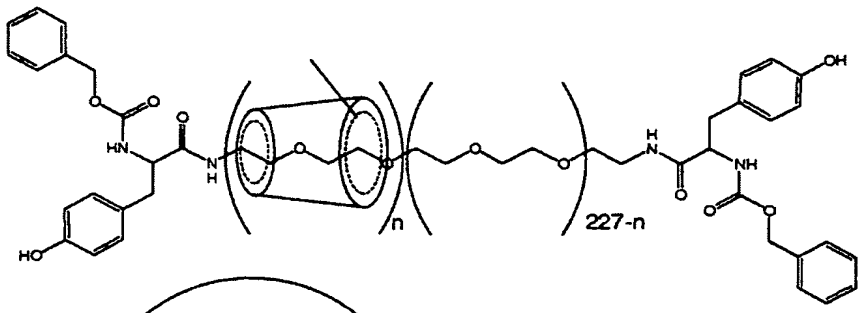
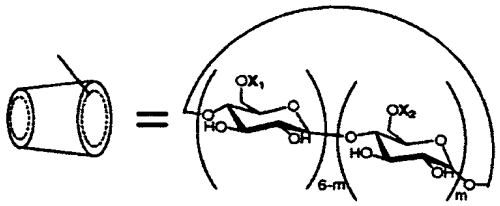
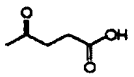

200
150
100
50
0
Relative MIC
50
60
70
80
90
100
Threading percent of CD (%)

FIG. 21

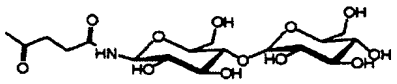




R_1 =

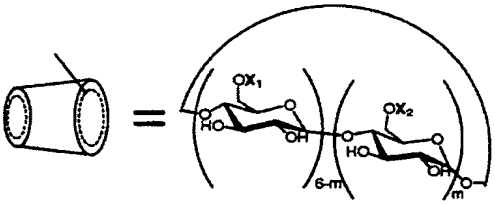


R_2 =



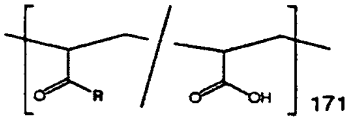
1a : $X_1 = R_1$, $X_2 = R_2$, m = 0.8, n = 50
1b : $X_1 = R_1$, $X_2 = R_2$, m = 1.2, n = 50
1c : $X_1 = R_1$, $X_2 = R_2$, m = 2.8, n = 50
1d : $X_1 = R_1$, $X_2 = R_2$, m = 4.6, n = 50

2a : $X_1 = R_1$, $X_2 = R_2$, m = 0.5, n = 85
2b : $X_1 = R_1$, $X_2 = R_2$, m = 0.7, n = 85
2c : $X_1 = R_1$, $X_2 = R_2$, m = 1.4, n = 85
2d : $X_1 = R_1$, $X_2 = R_2$, m = 2.9, n = 85

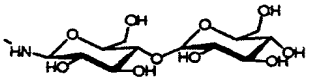


3a : $X_1 = R_1$, $X_2 = R_2$, m = 0.4, n = 120
3b : $X_1 = R_1$, $X_2 = R_2$, m = 0.5, n = 120
3c : $X_1 = R_1$, $X_2 = R_2$, m = 1.0, n = 120
3d : $X_1 = R_1$, $X_2 = R_2$, m = 2.0, n = 120

4 : $X_1 = R_1$, $X_2 = R_2$, m = 3.0



R_3 =



5a : R = R_3, # of R: 42
5b : R = R_3, # of R: 55
5c : R = R_3, # of R: 117
5d : R = R_3, # of R: 240

FIG. 22

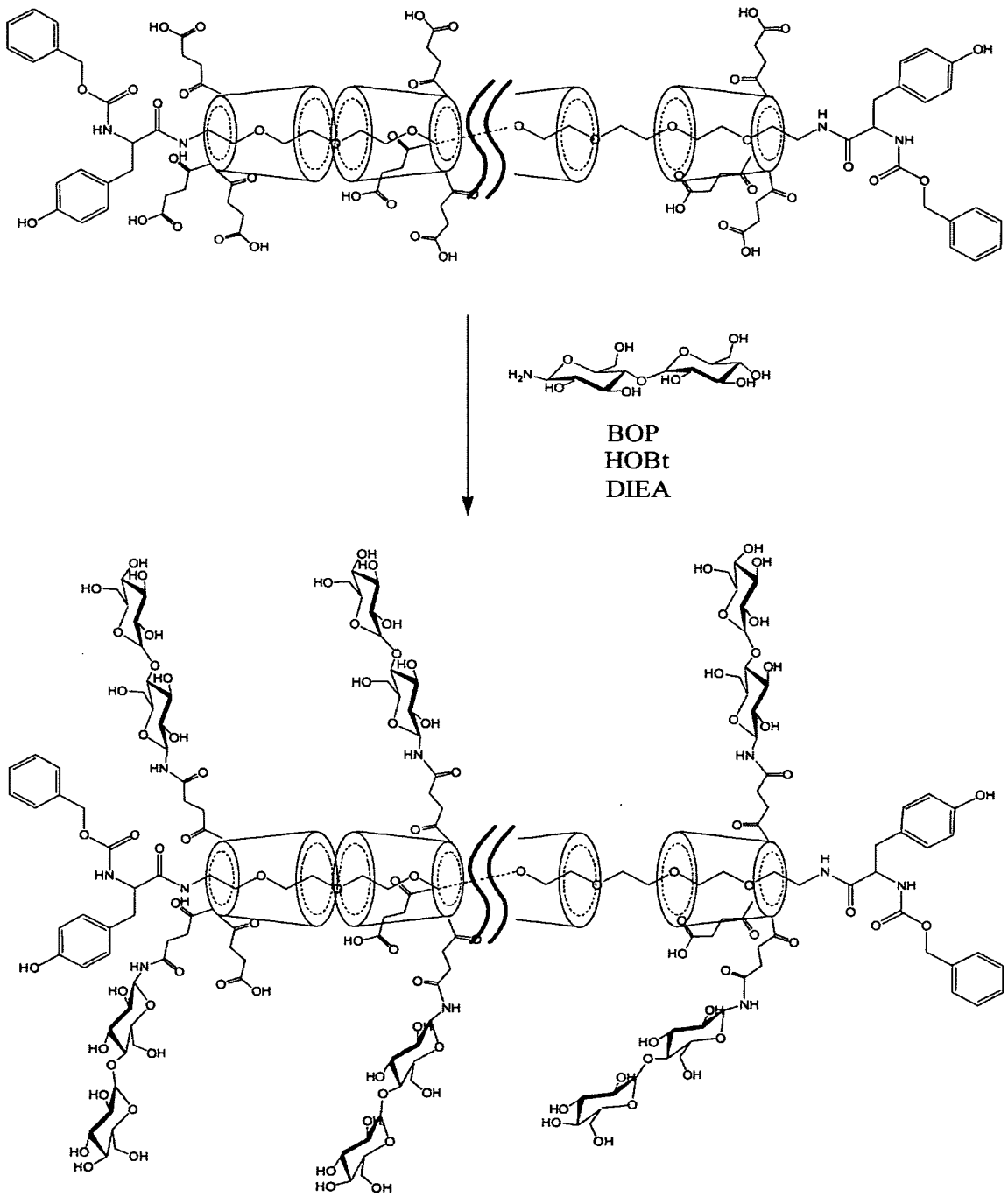


FIG. 23

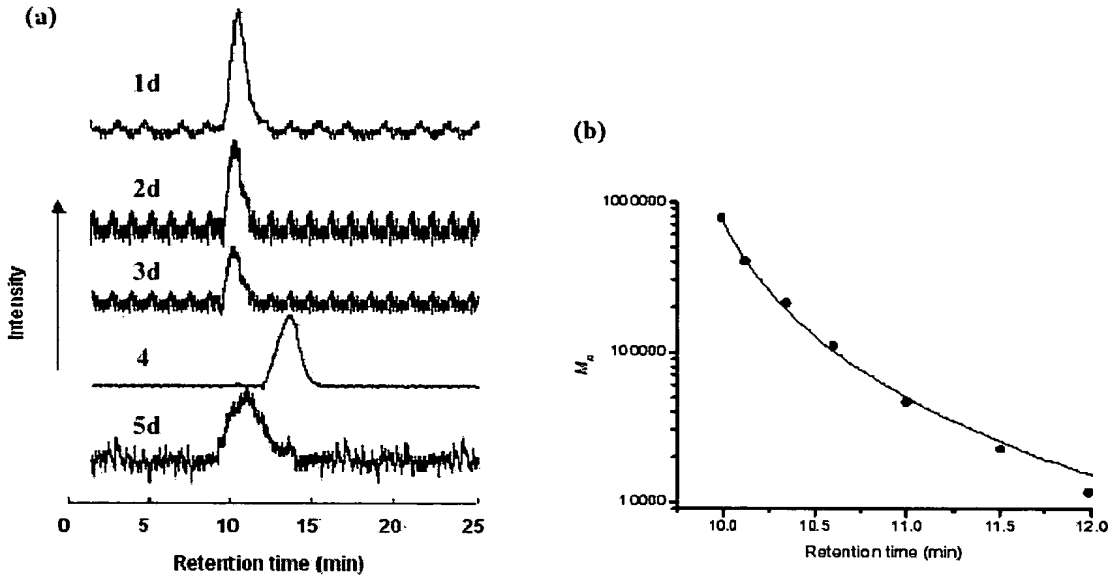
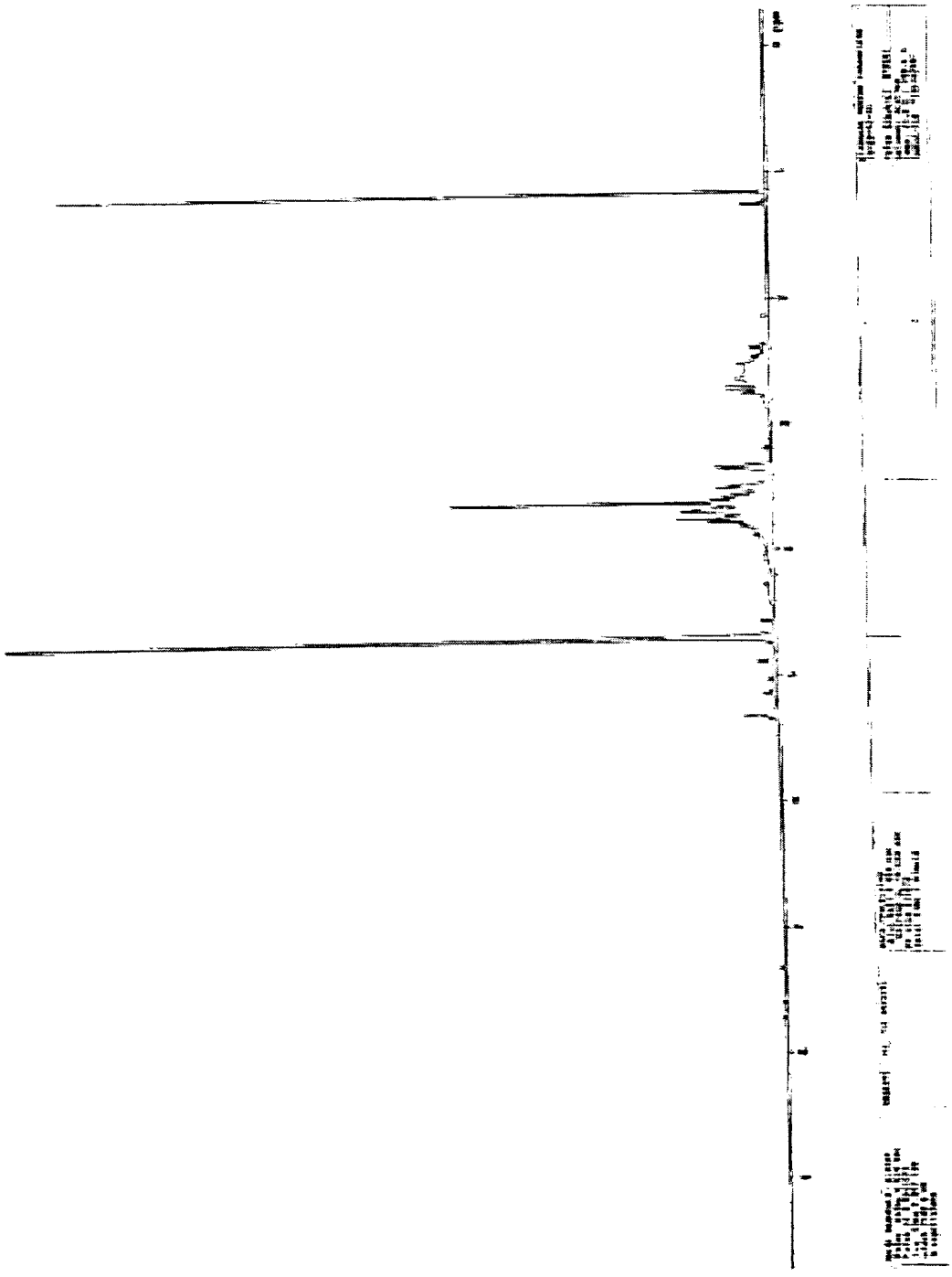
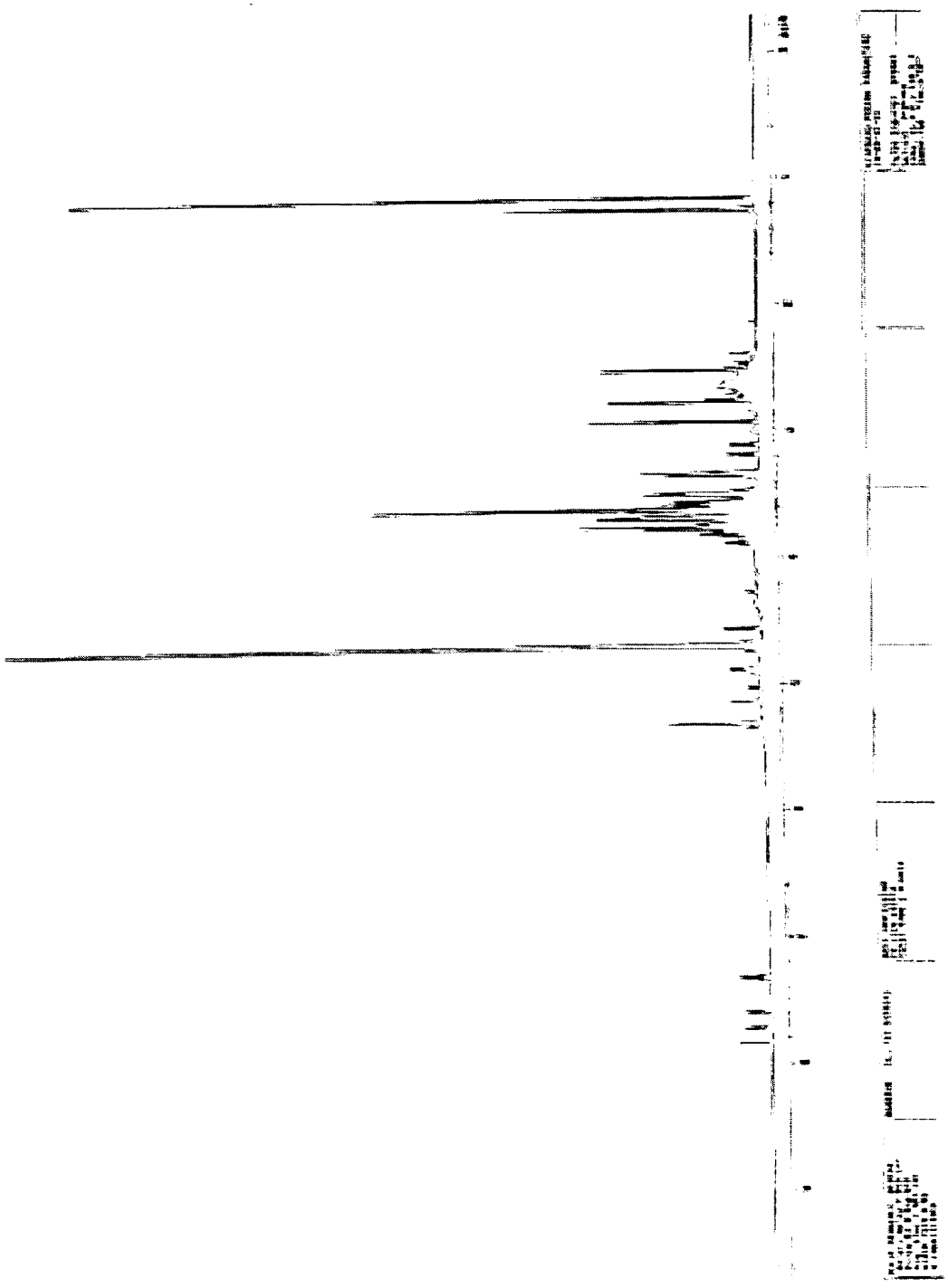

(a)
1d
2d
3d
4
5d
Intensity
0
5
10
15
20
25
Retention time (min)
(b)
1000000
100000
10000
M_n
10.0
10.5
11.0
11.5
12.0
Retention time (min)

FIG. 24



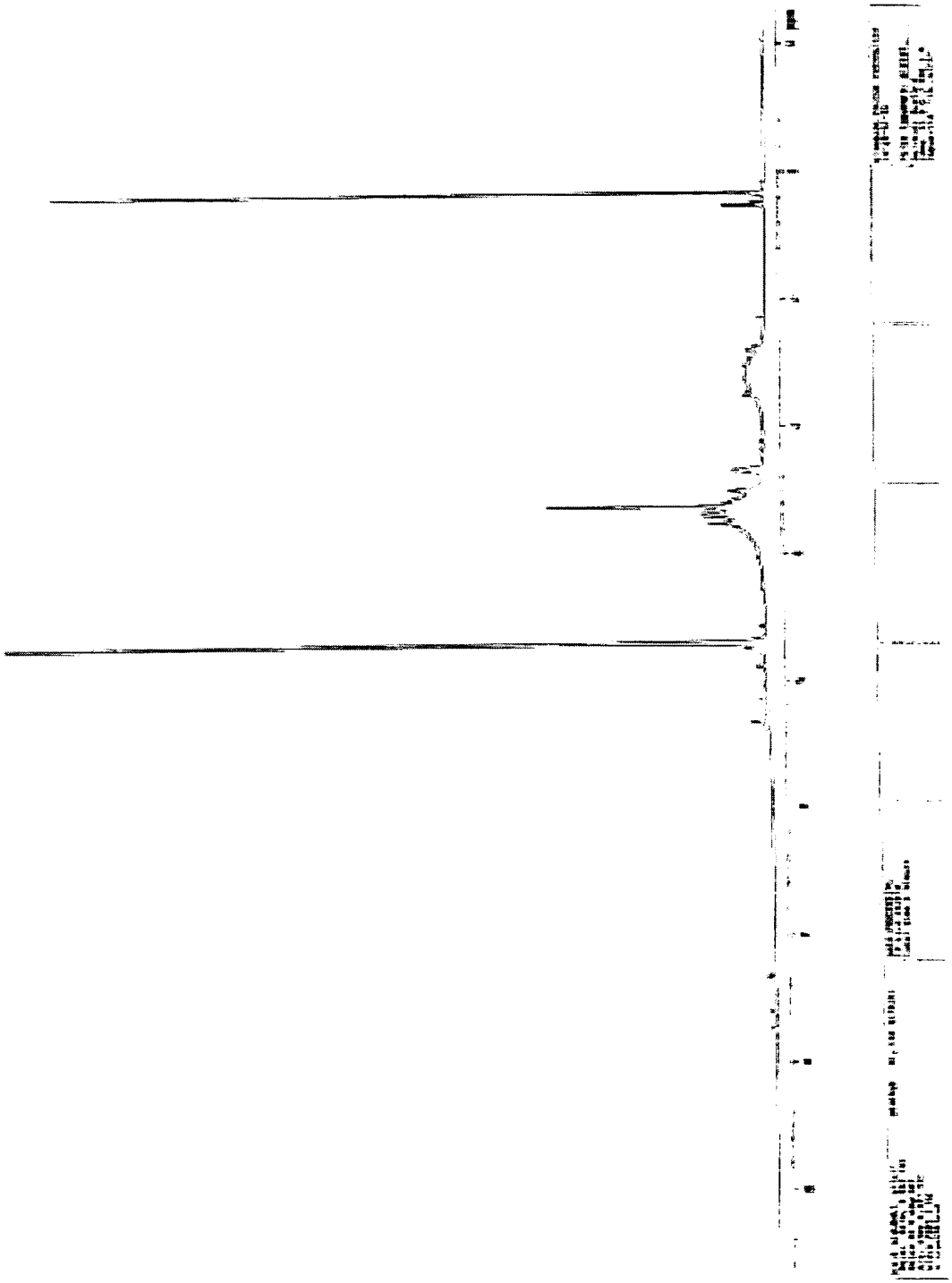
1d

FIG. 25



2d

FIG. 26



3d

FIG. 27

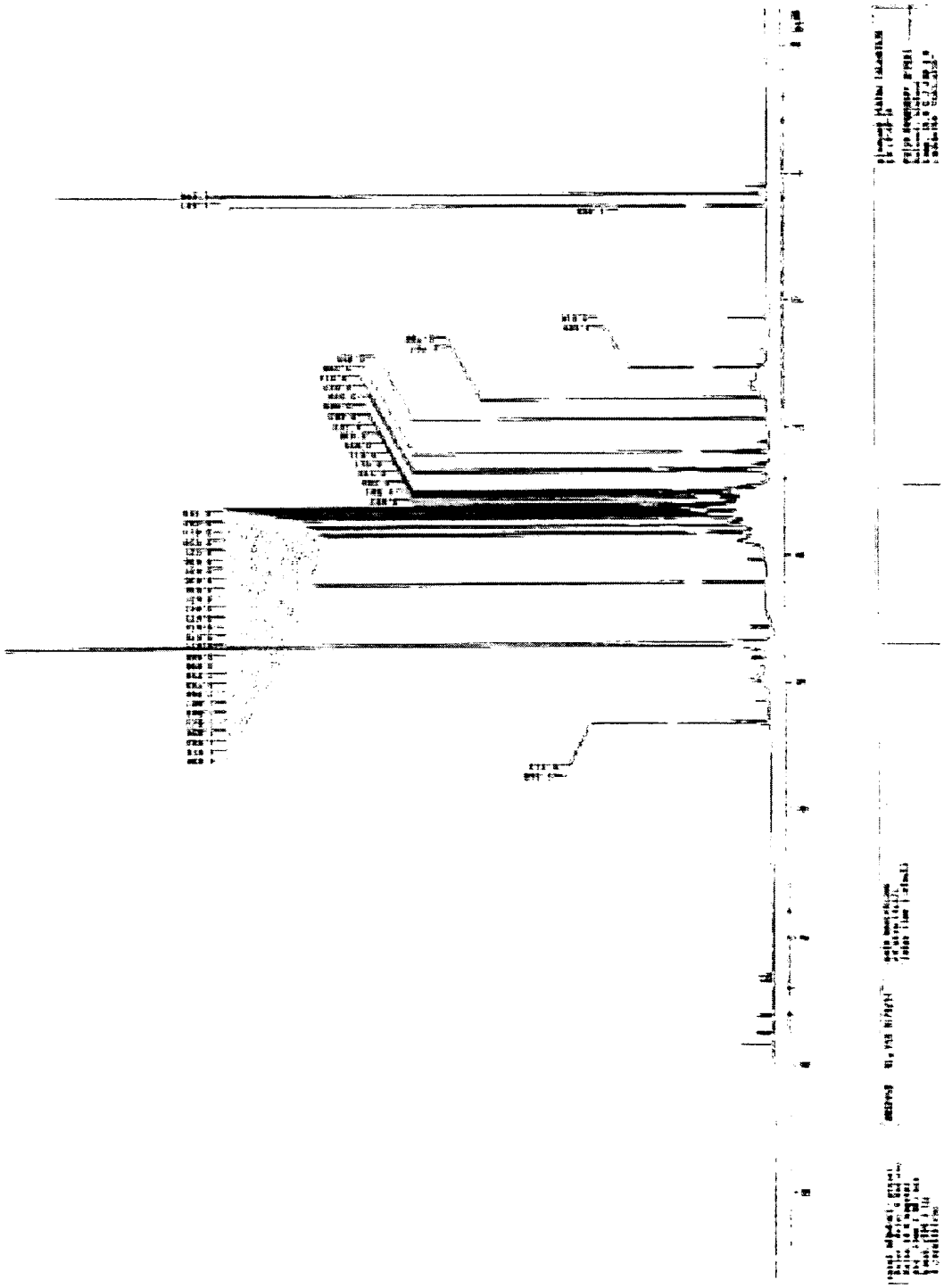
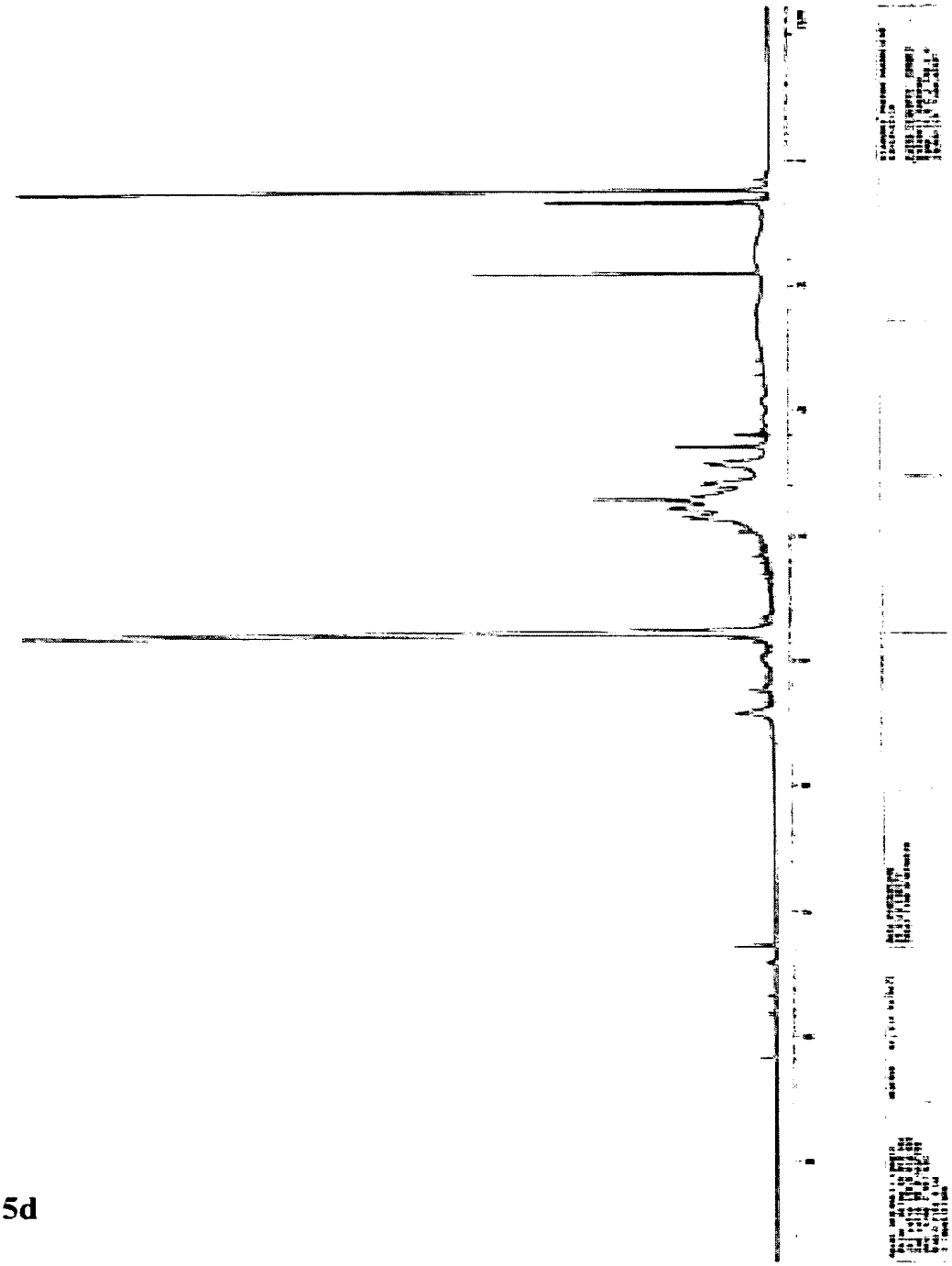


FIG. 28



5d

FIG. 29

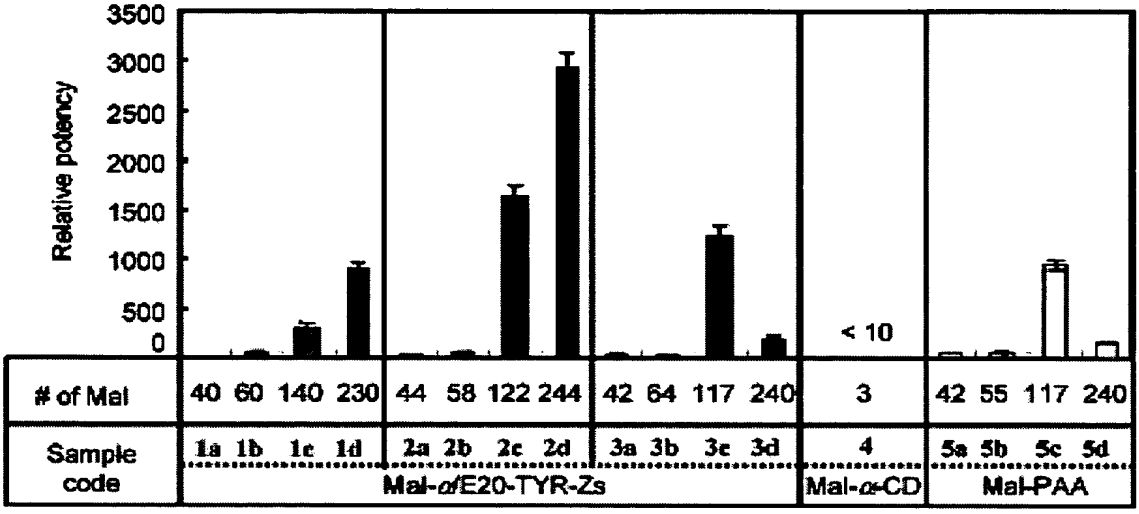
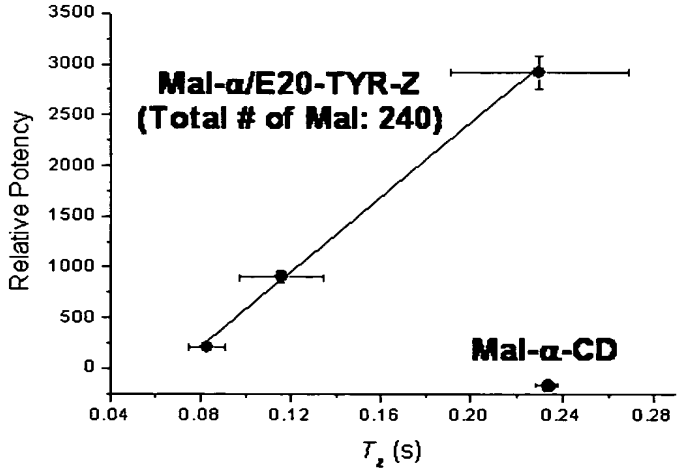

Relative potency
3500
3000
2500
2000
1500
1000
500
0
< 10
# of Mal
40 60 140 230
44 58 122 244
42 64 117 240
3
42 55 117 240
Sample code
1a 1b 1c 1d
2a 2b 2c 2d
3a 3b 3c 3d
4
5a 5b 5c 5d
Mal-α/E20-TYR-Zs
Mal-α-CD
Mal-PAA

FIG. 30


Relative Potency
3500
3000
2500
2000
1500
1000
500
0
Mal-α/E20-TYR-Z
(Total # of Mal: 240)
Mal-α-CD
0.04
0.08
0.12
0.16
0.20
0.24
0.28
T_2 (s)